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                 Substance-Based Searching
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                 backfile extension to 1946
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NEWS
                 Patent Databases
     5 DEC 18 ReaxysFile available on STN
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NEWS
                 CAS Learning Solutions -- a new online training experience
         DEC 22
NEWS
                 Value-Added Indexing Improves Access to World Traditional
                 Medicine Patents in CAplus
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         JAN 24
                 The new and enhanced DPCI file on STN has been released
NEWS
         JAN 26
                 Improved Timeliness of CAS Indexing Adds Value to
     9
                 USPATFULL and USPAT2 Chemistry Patents
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                 other enhancements improve searching in STN reload of
                 MEDLINE
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NEWS 12 FEB 23 PCTFULL file on STN completely reloaded
NEWS 13 FEB 23 STN AnaVist Test Projects Now Available for
                 Qualified Customers
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                 Numbers in the USPAT and IFI Database Families is Now
                 Consistent with Similar Patent Databases on STN
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         APR 26 Expanded Swedish Patent Application Coverage in CA/CAplus
                 Provides More Current and Complete Information
         APR 28 The DWPI (files WPINDEX, WPIDS and WPIX) on STN have been
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                 enhanced with thesauri for the European Patent Classifications
NEWS 18
        MAY 02 MEDLINE Improvements Provide Fast and Simple Access to DOI and
                 Chemical Name Information
NEWS 19
         MAY 12 European Patent Classification thesauri added to the INPADOC
                 files, PCTFULL, GBFULL and FRFULL
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NEWS 21 MAY 23 Free Trial of the Numeric Property Search Feature
                 in PCTFULL on STN
NEWS 22 JUN 20 STN on the Web Enhanced with New Patent Family Assistant and
                 Updated Structure Plug-In
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         JUN 20 INPADOC databases enhanced with first page images
NEWS 24 JUN 20 PATDPA database updates to end in June 2011
NEWS 25
         JUN 26
                 MARPAT Enhancements Save Time and Increase Usability
NEWS 26
                 STN adds Australian patent full-text database,
        JUL 25
                 AUPATFULL, including the new numeric search feature.
NEWS 27
                 CA Sections Added to ACS Publications Web Editions
         AUG 01
                 Platform
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                 enhanced legal status
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=> file reg
COST IN U.S. DOLLARS

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.23
0.23

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STRUCTURE FILE UPDATES: 18 AUG 2011 HIGHEST RN 1319804-90-4 DICTIONARY FILE UPDATES: 18 AUG 2011 HIGHEST RN 1319804-90-4

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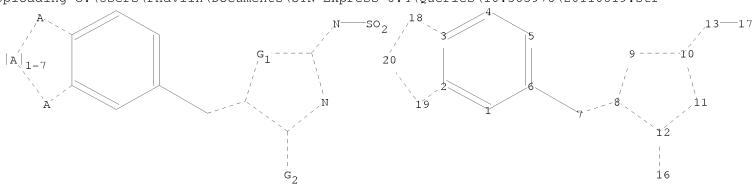
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chain nodes :
7 13 16 17
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 18 19 20
chain bonds :

6-7 7-8 10-13 12-16 13-17 ring bonds: $1-2 \quad 1-6 \quad 2-3 \quad 2-19 \quad 3-4 \quad 3-18 \quad 4-5 \quad 5-6 \quad 8-9 \quad 8-12 \quad 9-10 \quad 10-11 \quad 11-12 \quad 18-20 \quad 19-20$ exact/norm bonds: $2-19 \quad 3-18 \quad 6-7 \quad 7-8 \quad 8-9 \quad 8-12 \quad 9-10 \quad 10-11 \quad 10-13 \quad 11-12 \quad 12-16 \quad 13-17 \quad 18-20 \quad 19-20$ normalized bonds: $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6$

G1:0,S,N

G2:0,S

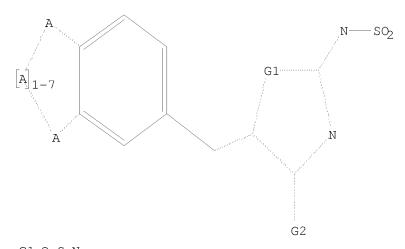
Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 16:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS L1 STR



G1:0, S, N G2:0, S

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 17:08:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 36 TO ITERATE

100.0% PROCESSED 36 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

PROJECTED ITERATIONS: 360 TO 1080

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 17:08:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 754 TO ITERATE

100.0% PROCESSED 754 ITERATIONS SEARCH TIME: 00.00.01

38 ANSWERS

=> d scan

L3 38 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN Benzenesulfonamide, N-[(52)-5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4-oxo-2-thiazolidinylidene]-4-methyl-, [N(E)]-MF C18 H12 F2 N2 O5 S2

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

38 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN 2-Thiophenecarboxylic acid, 3-[[(E)-[(52)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]amino]sulfonyl]-c16 H10 N2 O7 S3 MF

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

L3 38 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
IN Benzenesulfonamide, N-[(5Z)-5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4-oxo-2-thiazolidinylidene]-, [N(E)]MF C17 H10 F2 N2 O5 S2

Double bond geometry as shown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> file caplus COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 197.37 197.60

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FILE LAST UPDATED: 18 Aug 2011 (20110818/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2011
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2011

CAplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2011.

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L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2006:367076 CAPLUS
DOCUMENT NUMBER: 144:398358
TITLE: P13 kinase gamma inhibitors for the treatment of anaemia
INVENTOR(S): Wetzker, Reinhard; Mueller, Angelika; Rommel, Christian
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth. Antilles
SOURCE: PTIN: Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMMLY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.														. O.				
	2006									WO	200	5-1	EP55:	156		2	0051	011
WO	2006	0403	18		A3		2006	0810										
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BE	3, 1	ЗG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	D2	3, 1	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	3, 0	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA	١, ١	MD,	MG,	MK,	MN,	MW,	MX,	MZ,
		NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PI	., 1	PT,	RO,	RU,	SC,	SD,	SE,	SG,
		SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TI	ι, :	ΓZ,	UA,	UG,	US,	UZ,	VC,	VN,
		YU,	ZA,	ZM,	zw													
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EF	i, 1	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PI	۲, ۱	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	MI	., 1	ΜR,	NE,	SN,	TD,	TG,	BW,	GH,
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					RU,													
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	2580							0420									0051	
EP	1807							0718										
	R:							DE,										
						LU,	LV,	MC,	NL,	PI	., 1	₽Т,	RO,	SE,	SI,	SK,	TR,	AL,
			HR,															
	1010				A			1017										
	2008							0515										
	2007							0625									0051	
	2005							1007						5			0051	
	2007						2007							50			0070	
	2007							0607									0070	
	2007																	
	2009				A1		2009	0212						59				
RITY	APP	LN.	INFO	. :										97			0041	
										WO	200	D5-1	EP55:	156	1	W 2	0051	011
	NT H																	

o patent Available in LSUS display format Marpat 144:398358 OTHER SOURCE(S):

$$(z=0) \\ \begin{array}{c} \mathbb{R}^2 \\ \mathbb{N} \\ \mathbb{N} \\ \mathbb{N} \\ \mathbb{N}^2 \\ \mathbb{N}^2 \\ \mathbb{N}^2 \\ \mathbb{N}^2 \\ \mathbb{N} \\ \mathbb{N}$$

This present invention is related to the use of selective PD kinase gamma inhibitors for the manufacture of a medicament for the treatment of disorders related to erythrocyte deficiency. Specifically, the present invention is related to the use of selective PI3 Kinase gamma inhibitors, e.g.

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

 $843641-11-2 \quad CAPLUS \\ Benzenesulfonamide, \quad N=[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl)-3-dihoro- \quad (CA INDEX NAME) \\ \\$

843641-12-3 CAPLUS IH-Pyrazole-4-sulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-5-chloro-1,3-dimethyl- (CA INDEX NAME)

843641-13-4 CAPLUS

2-Thiophenecarboxylic acid, 3-[[[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

843641-14-5 CAPLUS
3-Pyridinesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-6-chloro- (CA INDEX NAME)

ANSMER 1 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) substituted arolidinone-vinyl fused-benzene derivs. for the treatment of an anemia, including haemolytic anemia, aplastic anemia and pure red cell anemia. (I) wherein A, X, Y1, Y2, Z, n, R1 and R2 are described in details in the description hereinafter.

326093-91-8 41952-25-5 843641-09-8
843641-10-1 843641-11-2 843641-12-3
843641-13-4 843641-11-2 843641-13-6
843641-13-4 843641-21-8 843641-18-9
843641-19-0 843641-20-3 843641-21-7
843641-19-0 843641-22-5 843641-23-6 843641-21-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(P13 kinase gamma inhibitors for treatment of anemia) 326093-91-91 & CAPLUS
Benzenesulfonamide, N=[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

419552-35-5 CAPLUS Benzenesulfonamide, N=[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-4-methyl- (CA INDEX NAME)

843641-09-8 CAPLUS Benzenesulfonamide, N=[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl)-2-chloro- (CA INDEX NAME)

843641-10-1 CAPLUS
Ethanesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN

843641-15-6 CAPLUS 8-Quinolinesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

843641-16-7 CAPLUS Methanesulfonamide, N=[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

843641-17-8 CAPLUS
Benzenesulfonamide, N-[5-[(2,2-diffluoro-1,3-benzodioxol-5-y1)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

$$\bigcap_{\mathrm{Ph}-S-\mathrm{NH}} S = CH - \bigcap_{\mathrm{O}} F$$

 $843641-18-9 \quad CAPLUS \\ Benzenesulfonamide, \quad N-[5-[(2,2-difluoro-1,3-benzodioxol-5-y1)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]-4-methyl- \quad (CA INDEX NAME)$

843641-19-0 CAPLUS Methanesulfonamide, N-[5-[(2,2-diffluoro-1,3-benzodioxol-5-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

843641-20-3 CAPLUS [1,1'-Biphenyl]-2-sulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

843641-21-4 CAPLUS 3-Pyridinesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

843641-22-5 CAPLUS

2-Thiophenecarboxylic acid, 3-[[[4,5-dihydro-4-oxo-5-(6-quinolinylmethylene)-2-thiazolyl]amino]sulfonyl]-, methyl ester (CA INDEX

843641-23-6 CAPLUS
Benzenesulfonamide, 2-chloro-N-[4,5-dihydro-4-oxo-5-(6-quinolinylmethylene)-2-thiazolyl]- (CA INDEX NAME)

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:120737 CAPLUS

DOCUMENT NUMBER: 142:219270

11TLE: 2-imino-4-(thio)oxo-5-polycyclovinylazolines as PI3 kinase inhibitors

INVENTOR(S): 2-imino-4-(thio)oxo-5-polycyclovinylazolines as PI3 kinase inhibitors

IN

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

WO	2005	0116	86		A1		20050210			WO 2	004-	EP51		2	0040	727	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
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		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,
			TD,														
AU	2004	2608	36														
	2531							0210								0040	
EP	1648	452			A1			0426		EP 2	004-	7663	35		2	0040	727
EP	1648						2009										
	R:							FR,									
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	2007																
	2007																
	2328							1110									
NO	2006	0005	73		A		2006	0203		NO 2	006-	573			2	0060	203

OTHER SOURCE(S): GI CASREACT 142:219270; MARPAT 142:219270

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) 843641-24-7 CAPLUS 2-Thiophenecarboxylic acid, 3-[[[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]amino]sulfonyl]- (CA INDEX NAME)

OS.CITING REF COUNT: THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

REFERENCE COUNT: 1

(3 CITINGS)
THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN

The title compds. I [A = 5-8 membered heterocyclic or carbocyclic group which may be fused with an aryl, heteroaryl, cycloalkyl or heterocycloalkyl; X = S, O, NR3, Y = S, O, Ri = H, CN, CO2H, acyl, etc.; R2 = H, halo, acyl, NH2, etc.; G = alkoxy, alkyl, CN, etc.; R3 = H, alkyl; with provisos], useful in particular for the treatment and/or prophylaxis of autoimmune disorders and/or inflammatory diseases, cardiovascular diseases, neurodegenerative diseases, kidney diseases, platelet aggregation, cancer, transplantation, graft rejection or lung injuries, were prepared and formulated. Thus, reacting 5-benzo[1,3]dioxol-5-ylmethylene-2-iminothiazolidin-4-one (preparation given) with 2-chlorobenzenesulfonyl chloride afforded 17% II. The tested compds. I showed 1C50 of < 10 µM with regard to PT3Ry.
1 showed 1C50 of < 10 µM with regard to PT3Ry.
1044645-30-8 1044645-40-0 1044645-34-2
1044645-30-8 1044645-40-0 1044645-41-1
1044645-42-2 1044645-46-8 1044645-49-9
1044645-63-7 1044645-66-9 1044645-60-0
1044645-72-8 1044645-67-9 1044645-60-0
1046645-72-8 1044645-67-9 1044645-67-8-4
RL: PRPH (Prophetic)
(Preparation of 2-imino-4-(thio)oxo-5-polycyclovinylazolines as PI3 kinase inhibitors)
104665-30-8 CAPLUS
Ethanesulfonamide, N-[(52)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.

 $\begin{array}{lll} 1044645-32-0 & CAPLUS \\ 1H-Fyrazole-4-sulfonamide, & N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-5-chloro-1,3-dimethyl-, [N(E)]- (CA INDEX NAME) \\ \end{array}$

 $1044645-34-2 \quad \text{CAPLUS} \\ \text{Methanesulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-, [N(E)]- (CA INDEX NAME)}$

Double bond geometry as shown.

1044645-38-6 CAPLUS
Benzenesulfonanide, N-[(52)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-2-chloro-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.

 $\label{eq:condition} 1044645-40-0 \quad \text{CAPLUS} \\ \text{8-Quinoline sulfonamide, N-[(52)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-, [N(E)]- \quad (CA INDEX NAME) \\ \text{CAPLUS NAME} \\$

Double bond geometry as shown.

Double bond geometry as shown.

1044645-42-2 CAPLUS Methanesulfonamide, N-[(5Z)-5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylenej-4-oxo-2-thiazolidinylidene]-, [N(E)]- (CA INDEX NAME)

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN

1044645-57-9 CAPLUS 2-Thiophenecarboxylic acid, 3-[[(E)-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]amino]sulfonyl]- (CA INDEX NAME)

Double bond geometry as shown.

1044645-63-7 CAPLUS

Benzenesulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-3-chloro-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.

 $1044645-65-9 \quad \text{CAPLUS} \\ 2-\text{Thiophene carboxylic acid, } 3-[[(E)-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]amino]sulfonyl]-, methyl ester (CA INDEX NAME)$

Double bond geometry as shown

 $1044645-66-0 \quad CAPLUS \\ Benzenesulfonamide, \; N-[\;(52)-5-[\;(2,2-difluoro-1,3-benzodioxol-5-yl) methylene]-4-oxo-2-thiazolidinylidene]-, \; [N(E)]- \;\;(CA INDEX NAME)$

Double bond geometry as shown.

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN

uble bond geometry as shown.

1044645-45-5 CAPLUS 3-Pyridinesulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.

 $\begin{array}{lll} 1044645-49-9 & \text{CAPLUS} \\ 3-\text{Pyridinesulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-6-chloro-, [N(E)]- & (CA INDEX NAME) \\ \end{array}$

Double bond geometry as shown.

 $1044645-55-7 \quad \text{CAPLUS} \\ \text{Benzenesulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-, [N(E)]- \quad (CA INDEX NAME)$

1044645-56-8 CAPLUS 2-Thiophenecarboxylic acid, 3-[[(E)-[(5Z)-4-oxo-5-(6-quinolinylmethylene)-2-thiazolidinylidene]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

 $1044645-72-8 \quad CAPLUS \\ Benzenesulfonamide, \\ 2-chloro-N-[(52)-4-oxo-5-(6-quinolinylmethylene)-2-thiazolidinylidene]-, \\ [N(E)]- \quad (CA INDEX NAME) \\ \\ \label{eq:controlled}$

Double bond geometry as shown.

1044645-77-3 CAPLUS [1,1'-Biphenyl]-2-sulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.

 $1044645-78-4 \quad CAPLUS \\ Benzenesulfonamide, N-[(5Z)-5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4-oxo-2-thiazolidinylidene]-4-methyl-, [N(E)]- (CA INDEX NAME)$

Double bond geometry as shown

83561-13-4P RI: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of 2-imino-4-(thio)oxo-5-polycyclovinylazolines as PI3 kinase

inhibitors) 843641-13-4 CAPLUS

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) 2-Thiophenecarboxylic acid, 3-[[[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

326093-91-8P 419552-35-5P 843641-09-8P 843641-10-1P 843641-11-2P 843641-12-7P 843641-14-5P 843641-15-6P 843641-16-7P 843641-13-0P 843641-12-2P 843641-12-6P 843641-20-3P 843641-21-4P 843641-22-5P 843641-23-6P 843641-24-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-imino-4-(thio)oxo-5-polycyclovinylazolines as PI3 kinase

inhibitors)
326093-91-8 CAPLUS
Benzenesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

419552-35-5 CAPLUS Benzenesulfonamide, N=[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-4-methyl- (CA INDEX NAME)

843641-09-8 CAPLUS
Benzenesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-2-chloro- (CA INDEX NAME)

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

843641-16-7 CAPLUS Methanesulfonanide, N=[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl)- (CA INDEX NAME)

843641-17-8 CAPLUS
Benzenesulfonamide, N-[5-[(2,2-difluoro-1,3-benzodioxol-5-y1)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

843641-19-0 CAPLUS
Methanesulfonamide, N-[5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN

843641-10-1 CAPLUS Ethaneaulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl)- (CA INDEX NAME)

843641-11-2 CAPLUS Benzenesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl)-3-dhoro- (CA INDEX NAME)

843641-12-3 CAPLUS 1H-Pyrazole-4-sulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-xox-2-thiazolyl]-5-chloro-1,3-dimethyl- (CA INDEX NAME)

843641-14-5 CAPLUS
3-Pyridinesulfonamide, N=[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-6-chloro- (CA INDEX NAME)

843641-15-6 CAPLUS 8-Quinolinesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

843641-20-3 CAPLUS [1,1'-Biphenyl]-2-sulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

843641-21-4 CAPLUS 3-Pyridinesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

843641-22-5 CAPLUS
2-Thiophenecarboxylic acid, 3-[[[4,5-dihydro-4-oxo-5-(6-quinolinylmethylene)-2-thiazolyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

843641-23-6 CAPLUS
Benzenesulfonamide, 2-chloro-N-[4,5-dihydro-4-oxo-5-(6-quinolinylmethylene)-2-thiazolyl]- (CA INDEX NAME)

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) 843641-24-7 CAPLUS 2-Thiophenecarboxylic acid, 3-[[[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]amino]sulfonyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

OS.CITING REF COUNT:

10

THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT: 2

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1999:163657 CAPLUS

DOCUMENT NUMBER: 130:225282

TITLE: Synthesis of Marine Alkaloids Isonaamine A,
Dorimidazole A, and Preclathridine A.
Iminophosphorane-Mediated Preparation of
2-Amino-1,4-disubstituted Imidazoles from
a-Arido Esters

Molina, Pedro; Fresneda, Pilar M.; Sanz, Miguel A.

CORPORATE SOURCE: Departamento de Quimica Organica Facultad de Quimica,
Universidad de Murcia, Murcia, E-30071, Spain

SOURCE: Journal of Organic Chemistry (1999), 64(7), 2540-2544

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society
Journal of Order Chemistry (1999), 64(7), 2540-2544

CONTINE SOURCE(S): CASREACT 130:325282

AB The preparation of 2-amino-1,5-disubstituted imidazoles from a-azido
esters was achieved. The aza-Wittig reaction of the iminophosphorane
derivs. with tosyl isocyanate, reaction with primary amines yielded the
appropriately substituted 2-aminomindazolinone ring followed by DIBAL
reduction, methanesulfonyl chloride dehydration and N-tosyl deprotection
afforded the title alkaloids. The key step was the
Staudinger/aza-Wittig/carbodiimide-mediated cyclization of a novel
quantidine precursor that yielded the appropriately substituted imidazole
ring.

IT 223757-37-7P

ring. 223757-37-7P IT

223757-37-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of isonaamine A, dorimidazole A, and preclathridine A via iminophosphorane mediated approach)
223757-37-7 CAPLUS
Benzenesulfonamide, N-[4-(1,3-benzodioxol-5-ylmethyl)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]-4-methyl- (CA INDEX NAME)

RN

OS.CITING REF COUNT: 36

THERE ARE 36 CAPLUS RECORDS THAT CITE THIS RECORD (37 CITINGS) THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT: 50

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chain nodes :

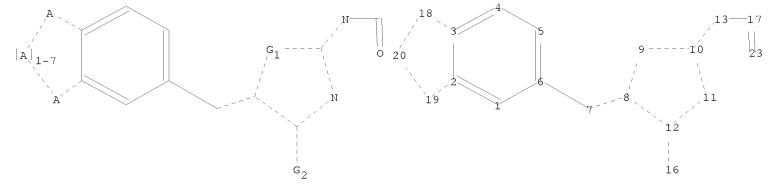
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=> Uploading C:\Users\rhavlin\Documents\STN Express 8.4\Queries\10.565976\20110819-carbonyl.str



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7 13 16 17
             23
ring nodes :
1 2 3 4 5
                     10 11 12
             6 8
                  9
                               18
chain bonds :
6-7 7-8 10-13 12-16 13-17
ring bonds :
1-2 1-6 2-3 2-19
                  3-4 3-18 4-5 5-6 8-9 8-12 9-10 10-11 11-12 18-20 19-20
exact/norm bonds :
2-19 3-18 6-7 7-8 8-9 8-12 9-10 10-11 10-13 11-12 12-16 13-17 17-23 18-20 19-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
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G1:0,S,N

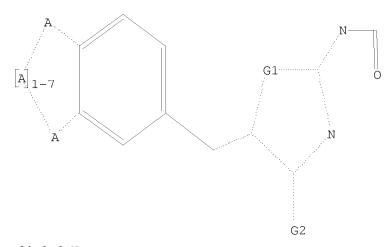
G2:0,S

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 16:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom 23:CLASS

L5 STRUCTURE UPLOADED

=> d L5 HAS NO ANSWERS L5 STR



G1:0, S, N G2:0, S

Structure attributes must be viewed using STN Express query preparation.

=> s 15 sss sam

SAMPLE SEARCH INITIATED 17:10:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 79 TO ITERATE

100.0% PROCESSED 79 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1047 TO 2113
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

 \Rightarrow s 15 sss full

FULL SEARCH INITIATED 17:10:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1260 TO ITERATE

100.0% PROCESSED 1260 ITERATIONS 26 ANSWERS

SEARCH TIME: 00.00.01

L7 26 SEA SSS FUL L5

=> file

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FILE LAST UPDATED: 18 Aug 2011 (20110818/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2011
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2011

CAplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2011.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 17 L8

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6 L7

L8 ANSWER 1 OF 6
ACCESSION NUMBER:
DOCUMENT NUMBER:
155:41220
115:41220
Leucettines, a Class of Potent Inhibitors of cdc2-Like
Kinases and Dual Specificity, Tyrosine Phosphorylation
Regulated Kinases Derived from the Marine Sponge
Leucettamine B: Modulation of Alternative Pre-RNA
Splicing
AUTHOR(S):
Debdab, Mansour; Carreaux, Francois; Renault, Steven;
Soundararajan, Meera; Fedorov, Oleg; Filippakopoulos,
Fanagis; Lorach, Olivier; Babault, Lucie; Tahtouh,
Tania, Baratte, Blandine; Ogwan, Yasushi; Bagiwara,
Masatoshi; Eisenreich, Andreas; Rauch, Ussula; Knapp,
Stefan; Meijer, Laurent; Bazureau, Jean-Pierre
CORPORATE SOURCE: Sciences Chimiques de Rennes, UMR CNRS 6226, Groupe
Ingenierie Chimique
& Molecules pour le Vivant

& Molecules pour le Vivant' (ICMV), Universite de Rennes 1, Rennes cedex, 35042, Fr. Journal of Medicinal Chemistry (2011), 54(12), 4172-4186

4172-4186 CODEN: JMCMAR; ISSN: 0022-2623 American Chemical Society Journal; (online computer file)

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

English

The synthesis, optimization, and biol. characterization of lewestines, a family of kinase inhibitors derived from the marine sponge lewestraine B I (R = H, R1 = Me), was reported. Stepwise synthesis of analogs starting from the natural structure, guided by activity testing on eight purified kinases, led to highly potent inhibitors of CLKs and DYKRs, two families of kinases involved in alternative pre-mRNA splicing and Alzheimer's disease/Down syndrome. Lewestine L41 In (R = Ph, R1 = H) was cocrystd. with CLK3. It interacts with key residues located within the ATP-binding pocket of the kinase. Lewestine L41 inhibited the phosphorylation of serime/arginine-rich proteins (SRP), a family of proteins regulating pre-RNA splicing, Indeed lewestine L41 was demonstrated to modulate alternative pre-mRNA splicing, in a cell-based reporting system. Lewestines should be further explored as pharmacol. tools to study and modulate pre-RNA splicing. Lewestines may also be investigated as potential therapeutic drugs in Alzheimer's disease (AD) and in diseases involving abnormal pre-mRNA splicing.

1112978-47-8P 1112978-71-8P (Preparation)
(synthesis of derivs. of the marine sponge alkaloid lewestamine B evaluation of their inhibitors of cdc2-like kinases)
112978-47-8 (APLUS)
Acetamide, N-[(42)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.

L8 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
150:472956
Preparation of imidazolone derivatives, derivatives of marine alkaloid Leucettamine B as dual specificity tyrosine-regulated kinase-1A inhibitors
Carreaux, Francois; Bazureau, Jean-Pierre; Renault, Steven; Meijer, Laurent; Lozach, Olivier
PATENT ASSIGNEE(S):
Universite De Rennes 1, Fr.; Centre National De La Recherche Scientifique (C.N.R.S.)
PCT Int. Appl., 54pp.; Chemical Indexing Equivalent to 150:214566 (FR)
CODEN: PIXXD2
DOCUMENT TYPE:
PANGUAGE:
FAMILY ACC. NUM. COUNT:
2

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.															DATE			
WO 2009050352 WO 2009050352					A2 20090423													
	W:	AE.	AG.	AL.	AM.	AO.	AT.	AU.	AZ.	BA.	BB,	BG.	BH.	BR.	BW.	BY.	BZ.	
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		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	
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FR	2919	608			A1		2009	0206		FR 2	2007-	5632			2	0070	801	
CA	2694	377			A1		2009	0423		CA 2	2008-	2694	377		2	0080	801	
KR	2010	0516	98		A		2010	0517		KR 2	2010-	7004	568		2	0080	801	
	2185										2008-					0080	801	
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,	
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			TR,															
JP	2010	5351	80		T		2010	1118		JP 2	2010-	5187	11		2	0080	801	
MX	2010	0011	70		A		2010	0625		MX 2	2010-	1170			2			
IN	2010	DN01	081		A		2010	0723		IN 2	2010-	DN10	81		2	0100		
	1017										2008-							
					A1		2010	0826			2010-							
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										WO 2	2008-1	FR11	52		W 2	0080	801	
	ENT H DURCE									N LS	BUS D	ISPL.	AY F	ORMA'	Т			

ANSWER 1 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

1112978-71-8 CAPLUS Acetic acid, 2-[[(42)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yllamino]-2-oxo-, ethyl ester (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT:

THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 83

ANSWER 2 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

Title compds. I [Rl = H, (un)substituted alkyl, (hetero)aryl; Arl = (un)substituted aryl with 2 adjacent substituents optionally forming a 5-6 membered ring, or a heteroaryl optionally condensed with a 5-6 membered aryl ring with the heteroatom selected from N, S, O; R = SR2, NHR3, NHCOR4, Ar2; R2 = (un)substituted alkyl, vinyl, benzyl, etc.; R3 = H, R2; Ar2 = (un)substituted aryl with 2 adjacent substituents optionally forming a 5-6 membered ring] were prepared as inhibitors of dual specificity tyrosine-regulated kinase-lA (DYRKIA). Thus, inidazolone II, prepared from glycine Me ester hydrochloride, inhibited DYRKIA with ICSO = 2.3 µM. I are useful for treating Alzheimers, tauopathies, trisomy 21, Pick's disease and neurodegenerative disorders (no data). 1112978-47-8P 1112978-67-2P 1112978-68-3P 1112978-99-4P 1112978-70-PP 1112978-71-0P RJ.: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) AB

II

(drug candidate; preparation of Leucettamine B derivs. as DYRKIA inhibitors) 1112978-47-8 CAPLUS Acctamide, N-[(42)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.

1112978-67-2 CAPLUS 2-Propenamide, N-[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.

Double bond geometry as shown.

1112978-69-4 CAPLUS Benzamide, N-[(42)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME) CN

Double bond geometry as shown.

1112978-70-7 CAPLUS
Benzeneacetamide, N=[(42)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.

1112978-71-8 CAPLUS Acetic acid, 2-[[(42)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]amino]-2-oxo-, ethyl ester (CA INDEX NAME)

Double bond geometry as shown.

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
150:214566
Preparation of imidazolone derivatives, derivatives of marine alkaloid Leucettamine B as dual specificity tyrosine-regulated kinase-1 ainhibitors
INVENTOR(S):
Carreaux, Francois; Bazureau, Jean Pierre, Renault, Steven; Meijez, Laurent; Lozach, Olivier
PATENT ASSIGNEE(S):
Universite de Rennes 1, Fr.; Centre National de la Recherche Scientifique - CNRS
SOURCE:
Fr. Demande, 71pp.; Chemical Indexing Equivalent to 150:472956 (WO)
CODEN: FRXXBL
DOCUMENT TYPE:
PAMILY ACC. NUM. COUNT:
PATENT INDEPMENTANT.

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.										LICAT						
TD	2919	608			8.1						2007-					0070	
CA	2694	377			A1		2009	0423		CA :	2008-	2694	377		2	0080	801
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WO	2009	0503	52		A3		2009	0723									
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		CA,	CH,	CN,	co,	CR,	CU,	CZ,	DE,	DK	, DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR	, HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK	, LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA.	, NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG	, SK,	SL,	SM,	ST,	sv,	SY,	TJ,
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ.	, VC,	VN,	ZA,	ZM,	ZW		
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE.	, ES,	FI,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL.	, NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN	, GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA.	, SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM.	, AP,	EA,	EP,	OA			
KR	2010	0516	98		A		2010	0517		KR :	2010-	7004	568		2	0080	801
EP	2185	547			A2		2010	0519		EP :	2008-	8389	29		2	0080	801
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	, ES,	FI,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LI,	LT,	LU,	LV,	MC,	MT.	, NL,	NO,	PL,	PT,	RO,	SE,	SI,
					BA,												
JP	2010	5351	80		T		2010	1118		JP :	2010-	5187	11		2	0080	801
MX	2010	0011	70		A		2010	0625			2010-						
IN	2010	DN01	081		A		2010	0723		IN:	2010- 2008-	DN10	81		2	0100	217
CN	1017	8454	2		A		2010	0721		CN :	2008-	8010	3961		2	0100	222
US	2010	0216	855		A1		2010	0826		US :	2010-	4529	40		2	0100	426
PRIORIT'	Y APP	LN.	INFO	. :						FR :	2007-	5632			A 2	0070	801
										WO :	2008-	FR11	52		W 2	0080	801
ASSIGNM	ENT H	ISTO	RY F	OR U	S PA	TENT	AVA	ILAB	LE I	N L	SUS D	ISPL	AY F	ORMA'	T		
OTHER S	DURCE	(S):			MAR	PAT	150:	2145	56								
GT																	

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

II

Title compds. I [Rl = H, (un)substituted alkyl, (hetero)aryl; Arl = (un)substituted aryl with 2 adjacent substituents optionally forming a 5-6 membered ring, or a heteroaryl optionally condensed with a 5-6 membered aryl ring with the heteroatom selected from N, S, O; R = SRZ, NHR3, NHCOR4, Ar2; R2 = (un)substituted alkyl, vinyl, benzyl, etc.; R3 = H, R2; Ar2 = (un)substituted aryl with 2 adjacent substituents optionally forming a 5-6 membered ring] were prepared as inhibitors of dual specificity tyrosine-regulated kinase-lA (DYRKIA). Thus, imidazolone II, prepared from glycine Me ester hydrochloride, inhibited DYRKIA with 1C50 = 2.3 µM. I are useful for treating Alzheimers, tauopathies, trisomy 21, Pick's disease and neurodegenerative disorders (no data). 1112978-47-8P 1112978-67-2P 1112978-68-3P 1112978-79-4P 1112978-70-7P 1112978-71-8P RL: PRC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation) USES (Uses) AB

(Arug candidate; preparation of Leucettamine B derivs. as DYRKIA inhibitors) 1112978-47-8 CAPLUS Acetamide, N-[(42)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.

1112978-67-2 CAPLUS 2-Propenamide, N-[(42)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.

 $\begin{array}{lll} 1112978-68-3 & CAPLUS \\ PropananIde, & N-\{(42)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]-2,2-dimethyl- & (CA INDEX NAME) \\ \end{array}$

Double bond geometry as shown.

1112978-69-4 CAPLUS Benzamide, N-[(42)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME) CN

Double bond geometry as shown.

1112978-70-7 CAPLUS

Benzeneacetamide, N-[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.

1112978-71-8 CAPLUS Acetic acid, 2-[[(42)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]amino]-2-oxo-, ethyl ester (CA INDEX NAME)

Double bond geometry as shown.

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
144:312080
Preparation of thiazolinone 4-monosubstituted
quinolines as CDK1-Cyclin B inhibitors for use as
anti-cancer agents
(Chen, Li; Chen, Shaoqing; Sidduri, Achyutharao; Lou,
Jianping
PATENTI ASSIGNEE(S):
FOT Int. Appl., 131 pp.
CODENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
PATENTI INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

2006029861 A1 20060323 W0 2005-EP9925 20050915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BM, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, BC, EE, EG, ES, FI, GB, GD, GE, GH, CM, HP, U, ID, II, IN, IS, PF, KE, KG, RM, KP, RK, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NS, NS, NS, NZ, CM, FG, FH, PL, FT, RC, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, VV, ZA, ZM, ZW
RN: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, CF, CG, CI, CM, GA, GN, GC, GN, ML, MR, NE, SN, TD, TG, BM, GH, CM, KE, LS, MN, MZ, NA, SN, SL, SZ, TZ, UG, ZM, ZW, ZM, 2M, ZM
20060063805 A1 200600323 US 2005-214153 PATENT NO. WO 2006029861 US 20060063805 US 7253285 AU 2005284292 CA 2579476 EP 1797085 B2 A1 A1 A1 20070807 20060323 AU 2005-284292 CA 2005-2579476 EP 2005-787266 20050915 20060323 20070620 20050915 20050915 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, FT, RO, SE, SI, SK, TR CN 101023080 A 2070822 CN 2005-8031330 20050915 CN 101023080
JP 2008513396
BR 2005015467
RV 2397983
MX 2007002914
KR 2007043890
KR 899533
IN 2007CN01148
KR 2009031798
PRIORITY APPLN. INFO.: DP 2005-80031335 BR 2005-15467 RU 2007-114122 MX 2007-2914 KR 2007-7006017 20080501 20050915 20080722 20050915 20100827 20100827 20070427 20070425 20070315 IN 2007-CN1148 KR 2009-7004698 US 2004-610679P WO 2005-EP9925 KR 2007-7006017 20070319 20070319 20090305 20040917 20050915 20070315 20090327 OTHER SOURCE(S): MARPAT 144:312080

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

OS.CITING REF COUNT:

- 1 1 CAPLUS RECORDS THAT CITE THIS RECORD
- REFERENCE COUNT:
- 35
- (1 CITINGS)
 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

II

The present invention relates to thiazolinone monosubstituted quinoline derivs. (shown as I; variables defined below; e.g. (2):5-(4-Methoxyquinolin-6-ylmethylidene)-2-[((thiophen-2-yl)nethyl)aminolthiazol-4-one (shown as II)), where the quinoline ring is mono-substituted at the 4 positions, which derivs. demonstrate CDK 1 antiproliferative activity and are useful as anti-cancer agents; to processes making said derivs. as well as medicaments containing them. For I: R1 is H, lower alkyl, azyloxy-lower alkyl, lower alkyl, lower alkylene, Processes making said derivs. As well as medicaments containing them. For I: R1 is H, lower alkyl, azyloxy-lower alkyl, lower alkylene, carboxy-lower alkylene, cycloalkylene, amino-lower alkylene, cycloalkylene, amino-lower alkylene, mono- or di-labox alkylene, carboxy-lower alkylene, mono- or di-lower alkyl amino lower alkylene or inide-lower alkylene, mono- or di-lower alkyl amino lower alkylene or inide-lower alkylene; R2 is R5-R7-substituted ring P where P = azyl, cycloalkyl containing 3-6 C atoms, 4-6 membered heterocycloalkyl containing 3-5 C atoms and 1-2 hetero atoms O, N and S, or a 5 or 6 membered heteroarom. ring containing 1-2 hetero atoms O, N and N. R3, R6 and R7 = hydroxy, lower alkyl, lower alkysy, amino, mono- or di-lower alkyl mino, or when two of the substituents K5, R6 and R7 are substituted on adjacent C atoms on ring P, these 2 substituents can be taken together with their adjacent, attached C atoms to form an arryl, 3-6 membered vegloalkyl, 4-6 membered heterocycloalkyl or 4-6 membered heteroarom. ring, said heterocycloalkyl ring and said heteroarom. ring containing 1-2 hetero atoms O, Nor S, R4 = halo, -(CH2)mKnishi6, -(O)k(CH2CH2O)yR10, (R17- and R18-substituted ring R)-(CH2)w(O)k-, -SR12 or -O(CH2)tR14. Ring R = aryl, cycloalkyl containing 3-6 C atoms, 4-6 membered heterocycloalkyl containing 3-6 C atoms, 4-6 membered heterocycloalkyl containing 1-2 hetero atoms O, S and N, or a 5-6 membered heteroarom. ring containing 1-2 hetero atoms O, S and N, or a 5-The present invention relates to thiazolinone monosubstituted quinoline AB

Answer 4 of 6 CAPLUS COPYRIGHT 2011 ACS on STN (Continued) activity is tabulated for 8 examples of I. 879324-04-6P, (2)-[5-(4-Methoxyquinolin-6-ylmethylidene)-4-oxo-4,5-dihydrothiazol-2-yl]carbamic acid tert-butyl ester 879324-08-0P, (2)-[5-(4-(2-Methoxyethoxy)quinolin-6-ylmethylidene]-4-oxo-4,5-dihydrothiazol-2-yl]carbamic acid tert-butyl ester 879324-26-2P, (2)-[5-(4-Phenoxyquinolin-6-ylmethylidene)-4-oxo-4,5-dihydrothiazol-2-yl]carbamic acid tert-butyl ester 879324-33-1P (2)-[5-(4-Butoxyquinolin-6-ylmethylidene)-4-oxo-4,5-dihydrothiazol-2-yl]carbamic acid tert-butyl ester RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant); Grue as anti-cancer agents) (preparation of thiazolinone 4-monosubstituted quinolines as CDK1-Cyclin B inhibitors for use as anti-cancer agents)

Grabamic acid, [(52)-4,5-dihydro-5-[(4-methoxy-6-quinolinyl)methylene]-4-oxo-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

879324-08-0 CAPLUS Carbamic acid, [(52)-4,5-dihydro-5-[[4-(2-methoxyethoxy)-6-quinolinyl]methylene]-4-oxo-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

879324-26-2 CAPLUS
Carbamic acid, [(5Z)-4,5-dihydro-4-oxo-5-[(4-phenoxy-6-quinolinyl)methylene]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

CAPLUS

Carbamic acid, [(5Z)-5-[(4-butoxy-6-quinoliny1)methylene]-4,5-dihydro-4-oxo-2-thiazoly1]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1996:130808 CAPLUS
DOCUMENT NUMBER: 124:176081
TITLE: 124:12655a, 32658a
Freparation of 1,3-thiazolidin-4-one derivatives and analogs as thrombin receptor antagonists
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: JENGUAGE
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INCOMPATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION	NO.	DATE
JP 07285952	A	19951031	JP 1995-6719	17	19950327
PRIORITY APPLN. INFO.:			GB 1994-7018	. A	19940408
			GB 1994-1744	13 A	19940830
OTHER SOURCE(S): GI	MARPAT	124:176081			

$$(\mathbb{R}^{1})_{n} \circ \qquad \qquad \times \circ \qquad \qquad \mathbb{R}$$

$$\mathbb{R}^{2} \circ \qquad \times \circ \qquad \times \circ \qquad \mathbb{R}$$

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$$\mathbb{R}^{2} \circ \qquad \times \circ \qquad \times \circ \qquad \times \circ \qquad \times \circ$$

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$$\mathbb{R}^{2} \circ \sim$$

$$\mathbb{R}^{2}$$

The title compds. [I; Rl = lower alkyl, aryl-lower alkyl, lower cycloalkyl, heterocyclyl, acylheterocyclyl, (un)substituted aryl; Y = R2-WiC, R3R4NC, CO; wherein R2 = acyl; W = N, CH; R3 = acyl; R4 = aryl; Z = CICRES, CHR7; wherein R5 = (un)protected CO2H, (un)protected amino-lower alkoxycarbonyl, acyl, (un)substituted aryl, heterocyclyloxy; R7 = H, (un)protected carboxy-lower alklyl; n = 0,11, useful for the treatment of the thrombin receptor-mediated diseases, e.g. thrombotic diseases, angina pectoris, heart disorder after implantation of a heart pace maker, valvular heart disease after replacement of an artificial heart vulvae, lung infarction, Raynaud syndrome, nephritis, inflammation, and arteriosclerosis, are prepared Thus, 0.29 mt di-Me butynedioate was added to a suspension of 0.50 gl-benzoyl-3-phenylthiourea in MeOH and the resulting mixture was refluxed for 3 h to give the title compound (II; R = X = H). II (R = Q, X = Cl) showed ICSO of 2.2 x 10-6 M for inhibiting the blood platelet aggregation of human platelet rich plasma which was induced by thrombin receptor agonist peptide.

REAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of thiazolidinone derivs. and analogs as thrombin receptor antagonists)

antagonists)
173905-79-8 CAPLUS
Benzamide, 4-chloro-N-[5-(2-naphthalenylmethylene)-4-oxo-3-phenyl-2-thiazolidinylidene]- (CA INDEX NAME)

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

Double bond geometry as shown.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS) THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

ANSWER 5 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS) OS.CITING REF COUNT:

L8 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1993:528107 CAPLUS
DOCUMENT NUMBER: 1993:528107 CAPLUS
119:128107 CAPLUS
119:128107 CAPLUS
119:128793a, 22796a
Azoheterocyclic nonlinear optical material
NUMENTOR(S): Kawamonzen, Yoshihiro; Mori, Yasushi
Tokyo Shibaura Electric Co, Japan
SOURCE: COEN: UKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILIY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APF	LICATION NO.		DATE
					-	
JP 05002200	A	19930108	JP	1991-248750		19910927
PRIORITY APPLN. INFO.:			JP	1990-256875	A1	19900928
OTHER SOURCE(S).	MARPAT	119.128107				

R SOURCE(S): MARPAT 119:128107

$$\begin{array}{c|c} X & Y \\ X & N \\ Z - C - N - C - R \\ H & O \end{array}$$

- The material comprises I [X = CRIR2, NR3; Y = 0, S; Z = 0, S, S02, NR4; R = (substituted) aromatic hydrocarbon residue, heterocycle, aliphatic or alicyclic hydrocarbon residue, H; R1-4 = R, functional group; R1 and R2 may form ring1. The material shows high second harmonic generation. 149246-09-3P 149246-14-0P R1: PREF (Preparation) (preparation of, nonlinear optical material, with high second harmonic generation) 149246-09-3 CAPLUS Acetamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-4-oxo-1H-imidazol-2-yl]- (CA INDEX NAME) AB
- IT

- 149246-14-0 CAPLUS
 Acetamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

L8 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

=> FIL STNGUIDE		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	37.32	450.70
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-5.22	-7.83

FILE 'STNGUIDE' ENTERED AT 17:12:21 ON 19 AUG 2011 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2011 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Aug 12, 2011 (20110812/UP).

=> => log hold

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE

0.00 -7.83

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 17:14:30 ON 19 AUG 2011